

or a pharmaceutically acceptable salt or solvate thereof, wherein

(1) either (i)  $R^1$  is H,  $C_1-C_6$  alkyl,  $C_3-C_7$  cycloalkyl, phenyl, benzyl, halo, -CN, -OR<sup>7</sup>, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>COR<sup>5</sup>, -NR<sup>5</sup>CO-( $C_1-C_6$  alkylene)-OR<sup>5</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>7</sup> or R<sup>6</sup>, said  $C_1-C_6$  alkyl,  $C_3-C_7$  cycloalkyl, phenyl and benzyl being optionally substituted by halo, -CN, -OR<sup>5</sup>, -OR<sup>8</sup>, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>8</sup>R<sup>9</sup>, -NR<sup>5</sup>COR<sup>5</sup>, -NR<sup>5</sup>COR<sup>6</sup>, -NR<sup>5</sup>COR<sup>8</sup>, -SO<sub>2</sub>NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>7</sup> or R<sup>6</sup> and

$R^2$  is -Y-Z,

or,  $R^1$  and  $R^2$ , when taken together, represent unbranched  $C_3-C_4$  alkylene, optionally wherein one methylene group of said  $C_3-C_4$  alkylene is replaced by an oxygen atom or a nitrogen atom, said nitrogen atom being optionally substituted by R<sup>5</sup> or R<sup>8</sup>,

and  $R^3$  is H,  $C_1-C_6$  alkyl,  $C_3-C_7$  cycloalkyl, phenyl, benzyl, -CN, halo, -OR<sup>7</sup>, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>COR<sup>5</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>7</sup> or R<sup>6</sup>, said  $C_1-C_6$  alkyl,  $C_3-C_7$  cycloalkyl, phenyl and benzyl being optionally substituted by halo, -CN, -OR<sup>5</sup>, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>COR<sup>5</sup>, -SO<sub>2</sub>NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>7</sup> or R<sup>6</sup>,

or (ii)  $R^1$  and  $R^3$  are each independently  $C_1-C_6$  alkyl,  $C_3-C_7$  cycloalkyl or halo-( $C_1-C_6$  alkyl), and  $R^2$  is H,

provided that

- for definition (i),  $R^1$  and  $R^3$  are not both H,
- for definition (i),  $R^1$  and  $R^3$  are not both optionally substituted phenyl, as defined therein,

and  
~~(c) for definition (i), when R<sup>1</sup> and R<sup>3</sup> are both methyl, R<sup>2</sup> is not phenyl or methyl,~~

~~(d) for definition (ii), R<sup>1</sup> and R<sup>3</sup> are not both methyl;~~

~~Y is a direct bond or C<sub>1</sub>-C<sub>3</sub> alkylene;~~

~~Z is R<sup>10</sup> or, where Y is C<sub>1</sub>-C<sub>3</sub> alkylene, Z is -NR<sup>5</sup>COR<sup>10</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>10</sup>,~~  
~~-NR<sup>5</sup>CONR<sup>5</sup>COR<sup>10</sup> or -NR<sup>5</sup>SO<sub>2</sub>R<sup>10</sup>;~~

~~R<sup>4</sup> is phenyl or pyridyl, each substituted by at least one substituent selected from halo,  
-CN, C<sub>1</sub>-C<sub>6</sub> alkyl, fluoro-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl and C<sub>1</sub>-C<sub>6</sub> alkoxy;~~

~~each R<sup>5</sup> is independently either H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, fluoro-(C<sub>1</sub>-C<sub>6</sub>)-alkyl,  
phenyl or benzyl, or, when two such groups are attached to the same nitrogen atom, those two  
groups taken together with the nitrogen atom to which they are attached represent azetidinyl,  
pyrrolidinyl, piperidinyl, homopiperidinyl, piperazinyl, homopiperazinyl or morpholinyl, said  
azetidinyl, pyrrolidinyl, piperidinyl, homopiperidinyl, piperazinyl, homopiperazinyl and  
morpholinyl being optionally substituted by C<sub>1</sub>-C<sub>6</sub> alkyl or C<sub>3</sub>-C<sub>7</sub> cycloalkyl and said  
piperazinyl and homopiperazinyl being optionally substituted on the nitrogen atom not taken  
together with the two R<sup>5</sup> groups to form the ring by -COR<sup>7</sup> or -SO<sub>2</sub>R<sup>7</sup>;~~

~~R<sup>6</sup> is a four to six-membered, aromatic, partially unsaturated or saturated heterocyclic  
group containing (i) from 1 to 4 nitrogen heteroatom(s) or (ii) 1 or 2 nitrogen heteroatom(s)  
and 1 oxygen or 1 sulphur heteroatom or (iii) 1 or 2 oxygen or sulphur heteroatom(s), said  
heterocyclic group being optionally substituted by -OR<sup>5</sup>, -NR<sup>5</sup>R<sup>5</sup>, -CN, oxo, C<sub>1</sub>-C<sub>6</sub> alkyl,  
C<sub>3</sub>-C<sub>7</sub> cycloalkyl, -COR<sup>7</sup> or halo;~~

~~R<sup>7</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, fluoro-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, phenyl or benzyl;~~

~~R<sup>8</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl substituted by phenyl, pyridyl or pyrimidinyl, said phenyl, pyridyl  
and pyrimidinyl being optionally substituted by halo, -CN, -CONR<sup>5</sup>R<sup>5</sup>, -SO<sub>2</sub>NR<sup>5</sup>R<sup>5</sup>,  
-NR<sup>5</sup>SO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -(C<sub>1</sub>-C<sub>6</sub> alkylene)-NR<sup>5</sup>R<sup>5</sup>, C<sub>1</sub>-C<sub>6</sub> alkyl, fluoro-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, C<sub>3</sub>-C<sub>7</sub>  
cycloalkyl or C<sub>1</sub>-C<sub>6</sub> alkoxy;~~

~~R<sup>9</sup>~~ is H, C<sub>1</sub>-C<sub>6</sub> alkyl or C<sub>3</sub>-C<sub>7</sub> cycloalkyl, said C<sub>1</sub>-C<sub>6</sub> alkyl and C<sub>3</sub>-C<sub>7</sub> cycloalkyl being optionally substituted by -OR<sup>5</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>COR<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup> or R<sup>6</sup>;

~~R<sup>10</sup>~~ is (a) benzyl or C-linked R<sup>6</sup>, said benzyl being optionally substituted by halo, -OR<sup>5</sup>, -OR<sup>12</sup>, -CN, -CO<sub>2</sub>R<sup>7</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>R<sup>5</sup>, -C(=NR<sup>5</sup>)NR<sup>5</sup>OR<sup>5</sup>, -CONR<sup>5</sup>NR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>R<sup>12</sup>, -NR<sup>5</sup>COR<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>COCONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>7</sup>, -SO<sub>2</sub>NR<sup>5</sup>R<sup>5</sup> or R<sup>6</sup>, or (b) when R<sup>1</sup> and R<sup>3</sup> are each independently C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl or halo-(C<sub>1</sub>-C<sub>6</sub> alkyl), R<sup>10</sup> is phenyl, C<sub>1</sub>-C<sub>6</sub> alkyl or C<sub>3</sub>-C<sub>7</sub> cycloalkyl each being optionally substituted by halo, -OR<sup>5</sup>, -OR<sup>12</sup>, -CN, -CO<sub>2</sub>R<sup>7</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>R<sup>5</sup>, -C(=NR<sup>5</sup>)NR<sup>5</sup>OR<sup>5</sup>, -CONR<sup>5</sup>NR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>R<sup>12</sup>, -NR<sup>5</sup>COR<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>COCONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>7</sup>, -SO<sub>2</sub>NR<sup>5</sup>R<sup>5</sup> or R<sup>6</sup>;

X is -CH<sub>2</sub>-, -CHR<sup>11</sup>-, -CO-, -S-, -SO- or -SO<sub>2</sub>-;

R<sup>11</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, fluoro-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or C<sub>1</sub>-C<sub>6</sub> alkoxy; and

R<sup>12</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl substituted by R<sup>6</sup>, -OR<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>COR<sup>5</sup> or -NR<sup>5</sup>R<sup>5</sup>.--

--77. (New) A compound according to claim 76 wherein R<sup>1</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl, -OR<sup>7</sup>, -CO<sub>2</sub>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO-(C<sub>1</sub>-C<sub>6</sub> alkylene)-OR<sup>5</sup> or R<sup>6</sup>, said C<sub>1</sub>-C<sub>6</sub> alkyl being optionally substituted by halo, -CN, -OR<sup>5</sup>, -OR<sup>8</sup>, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>8</sup>R<sup>9</sup>, -NR<sup>5</sup>COR<sup>5</sup>, -NR<sup>5</sup>COR<sup>6</sup>, -NR<sup>5</sup>COR<sup>8</sup>, -SO<sub>2</sub>NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>7</sup> or R<sup>6</sup>.--

--78. (New) A compound according to claim 77 wherein R<sup>1</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl, -OR<sup>7</sup>, -CO<sub>2</sub>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO-(C<sub>1</sub>-C<sub>6</sub> alkylene)-OR<sup>5</sup> or R<sup>6</sup>, said C<sub>1</sub>-C<sub>6</sub> alkyl being optionally substituted by halo or -OR<sup>5</sup>.--

--79. (New) A compound according to claim 78 wherein R<sup>1</sup> is C<sub>1</sub>-C<sub>3</sub> alkyl, -OCH<sub>3</sub>, -CO<sub>2</sub>(C<sub>1</sub>-C<sub>2</sub> alkyl), -NHCO<sub>2</sub>(C<sub>1</sub>-C<sub>2</sub> alkyl), -NH<sub>2</sub>, -N(CH<sub>3</sub>)<sub>2</sub>, -NHCOCH<sub>2</sub>OCH<sub>3</sub> or furanyl, said C<sub>1</sub>-C<sub>3</sub> alkyl being optionally substituted by fluoro or -OH.--

--80. (New) A compound according to claim 79 wherein R<sup>1</sup> is methyl, ethyl, prop-2-yl, hydroxymethyl, trifluoromethyl, -OCH<sub>3</sub>, -CO<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -NHCO<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -NH<sub>2</sub>, -N(CH<sub>3</sub>)<sub>2</sub>, -NHCOCH<sub>2</sub>OCH<sub>3</sub> or furan-2-yl.--

--81. (New) A compound according to claim 80 wherein R<sup>1</sup> is ethyl.--

--82. (New) A compound according to claim 76 wherein R<sup>1</sup> is methyl, ethyl, trifluoromethyl or -CH<sub>2</sub>NHCH<sub>2</sub>(4-cyanophenyl).--

--83. (New) A compound according to claim 76 wherein R<sup>2</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl, -(C<sub>1</sub>-C<sub>3</sub> alkylene)-NR<sup>5</sup>CO-(C<sub>1</sub>-C<sub>6</sub> alkyl), -(C<sub>1</sub>-C<sub>3</sub> alkylene)-NR<sup>5</sup>CONR<sup>5</sup>-(C<sub>1</sub>-C<sub>6</sub> alkyl), -(C<sub>1</sub>-C<sub>3</sub> alkylene)-NR<sup>5</sup>CONR<sup>5</sup>CO-(phenyl), -(C<sub>1</sub>-C<sub>3</sub> alkylene)-NR<sup>5</sup>SO<sub>2</sub>(C-linked R<sup>6</sup>), -(C<sub>1</sub>-C<sub>3</sub> alkylene)-NR<sup>5</sup>CO(C-linked R<sup>6</sup>), -(C<sub>1</sub>-C<sub>3</sub> alkylene)-NR<sup>5</sup>CO-(phenyl), each C<sub>1</sub>-C<sub>6</sub> alkyl and phenyl being optionally substituted by halo, -OR<sup>5</sup>, -OR<sup>12</sup>, -CN, -CO<sub>2</sub>R<sup>7</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>R<sup>5</sup>, -C(=NR<sup>5</sup>)NR<sup>5</sup>OR<sup>5</sup>, -CONR<sup>5</sup>NR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>R<sup>12</sup>, -NR<sup>5</sup>COR<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>COCONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>7</sup>, -SO<sub>2</sub>NR<sup>5</sup>R<sup>5</sup> or R<sup>6</sup>.--

--84. (New) A compound according to claim 83 wherein R<sup>2</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl, -(C<sub>1</sub>-C<sub>3</sub> alkylene)-NR<sup>5</sup>CO-(C<sub>1</sub>-C<sub>6</sub> alkyl), -(C<sub>1</sub>-C<sub>3</sub> alkylene)-NR<sup>5</sup>CONR<sup>5</sup>-(C<sub>1</sub>-C<sub>6</sub> alkyl), -(C<sub>1</sub>-C<sub>3</sub> alkylene)-NR<sup>5</sup>CONR<sup>5</sup>CO-(phenyl), -(C<sub>1</sub>-C<sub>3</sub> alkylene)-NR<sup>5</sup>SO<sub>2</sub>R<sup>6</sup>, -(C<sub>1</sub>-C<sub>3</sub> alkylene)-NR<sup>5</sup>COR<sup>6</sup>, -(C<sub>1</sub>-C<sub>3</sub> alkylene)-NR<sup>5</sup>CO-(phenyl), each C<sub>1</sub>-C<sub>6</sub> alkyl and phenyl being optionally substituted by halo, -OR<sup>5</sup>, -CN, -CO<sub>2</sub>R<sup>7</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>COCONR<sup>5</sup>R<sup>5</sup> or R<sup>6</sup>.--

--85. (New) A compound according to claim 84 wherein R<sup>2</sup> is H, C<sub>1</sub>-C<sub>3</sub> alkyl, -(C<sub>1</sub>-C<sub>2</sub> alkylene)-NHCO-(C<sub>1</sub>-C<sub>3</sub> alkyl), -(C<sub>1</sub>-C<sub>2</sub> alkylene)-NHCONH-(C<sub>1</sub>-C<sub>3</sub> alkyl), -(C<sub>1</sub>-C<sub>2</sub> alkylene)-NHCONHCO-(phenyl), -(C<sub>1</sub>-C<sub>2</sub> alkylene)-NHSO<sub>2</sub>R<sup>6</sup>, -(C<sub>1</sub>-C<sub>2</sub> alkylene)-NHCOR<sup>6</sup>, -(C<sub>1</sub>-C<sub>2</sub> alkylene)-NHCO-(phenyl), each C<sub>1</sub>-C<sub>3</sub> alkyl and phenyl being optionally substituted by fluoro, -OH, -O(C<sub>1</sub>-C<sub>6</sub> alkyl), -CN, -CO<sub>2</sub>(C<sub>1</sub>-C<sub>6</sub> alkyl), -CONH<sub>2</sub>, -OCONH<sub>2</sub>, -OCONHCO<sub>2</sub>Ph, -NH<sub>2</sub>, -N(C<sub>1</sub>-C<sub>6</sub> alkyl)<sub>2</sub>, -NHCONH<sub>2</sub>, -NHCOCOCONH<sub>2</sub> or R<sup>6</sup>.--

--86. (New) A compound according to claim 83 wherein R<sup>6</sup> is 2,4-dihydroxypyrimidinyl, 1-methylimidazolyl, tetrahydrofuranyl, 1,5-dimethylpyrazolyl, tetrazolyl, pyridinyl, pyrimidinyl, 3-hydroxypyridazinyl, 2-hydroxypyridinyl, 2-oxo-2H-pyranyl or 1,2,3-thiadiazolyl.--

--87. (New) A compound according to claim 85 wherein R<sup>2</sup> is H, -CH<sub>2</sub>OH, -CH<sub>2</sub>CH<sub>2</sub>OH, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>OH, -CH<sub>2</sub>OCONH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>OCONH<sub>2</sub>, -CH<sub>2</sub>OCONHCO<sub>2</sub>Ph, -CH<sub>2</sub>CO<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -CH<sub>2</sub>CH<sub>2</sub>CO<sub>2</sub>CH<sub>3</sub>, -CH<sub>2</sub>CH<sub>2</sub>CO<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -CH<sub>2</sub>CH<sub>2</sub>CONH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>NHCOCHF<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>NHCOCH<sub>2</sub>CN, -CH<sub>2</sub>CH<sub>2</sub>NHCOCH<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>NHCOCH<sub>2</sub>OCH<sub>3</sub>, -CH<sub>2</sub>CH<sub>2</sub>NHCOCH<sub>2</sub>NHCONH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>NHCOCOCONH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>NHCONHCH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -CH<sub>2</sub>CH<sub>2</sub>NHCONHCOPh, -CH<sub>2</sub>CH<sub>2</sub>NHCONHCO(2,6-difluorophenyl), -CH<sub>2</sub>CH<sub>2</sub>NHSO<sub>2</sub>(2,4-dihydroxypyrimidin-5-yl), -CH<sub>2</sub>CH<sub>2</sub>NHSO<sub>2</sub>(1-methylimidazol-4-yl), -CH<sub>2</sub>CH<sub>2</sub>NHCO(tetrahydrofuran-2-yl), -CH<sub>2</sub>CH<sub>2</sub>NHCO(1,5-dimethylpyrazol-3-yl), -CH<sub>2</sub>CH<sub>2</sub>NHCOCH<sub>2</sub>(tetrazol-1-yl), -CH<sub>2</sub>CH<sub>2</sub>NHCOPh, -CH<sub>2</sub>CH<sub>2</sub>NHCO(pyridin-2-yl), -CH<sub>2</sub>CH<sub>2</sub>NHCO(pyrimidin-2-yl), -CH<sub>2</sub>CH<sub>2</sub>NHCO(2-fluorophenyl), -CH<sub>2</sub>CH<sub>2</sub>NHCO(3-hydroxyphenyl), -CH<sub>2</sub>CH<sub>2</sub>NHCO(3-hydroxypyridazin-6-yl), -CH<sub>2</sub>CH<sub>2</sub>NHCO(2-hydroxypyridin-6-yl), -CH<sub>2</sub>CH<sub>2</sub>NHCO(2-oxo-2H-pyran-5-yl) or -CH<sub>2</sub>CH<sub>2</sub>NHCO(1,2,3-thiadiazol-4-yl).--

--88. (New) A compound according to claim 76 wherein R<sup>2</sup> is H, methyl, -CH<sub>2</sub>CH<sub>2</sub>OH, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>OH, -CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>CN, -CH<sub>2</sub>CH<sub>2</sub>OCH<sub>3</sub>, -CH<sub>2</sub>CONH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>NHCOCH<sub>2</sub>OCH<sub>3</sub> or azetidin-3-yl.--

--89. (New) A compound according to claim 88 wherein R<sup>2</sup> is -CH<sub>2</sub>CH<sub>2</sub>OH, -CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>CN or azetidin-3-yl.--

--90. (New) A compound according to claim 76 wherein R<sup>3</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup> or -NR<sup>5</sup>R<sup>5</sup>, said C<sub>1</sub>-C<sub>6</sub> alkyl being optionally substituted by halo, -CN, -OR<sup>5</sup>, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>COR<sup>5</sup>, -SO<sub>2</sub>NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>7</sup> or R<sup>6</sup>.--

--91. (New) A compound according to claim 90 wherein R<sup>3</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup> or -NR<sup>5</sup>R<sup>5</sup>, said C<sub>1</sub>-C<sub>6</sub> alkyl being optionally substituted by halo, CN or -OR<sup>5</sup>.--

--92. (New) A compound according to claim 91 wherein R<sup>3</sup> is C<sub>1</sub>-C<sub>3</sub> alkyl, -CO<sub>2</sub>(C<sub>1</sub>-C<sub>2</sub> alkyl), -CONH<sub>2</sub>, -NHCO<sub>2</sub>(C<sub>1</sub>-C<sub>4</sub> alkyl), -N(CH<sub>3</sub>)<sub>2</sub> or -NH<sub>2</sub>, said C<sub>1</sub>-C<sub>3</sub> alkyl being optionally substituted by halo, -CN or -OH.--

--93. (New) A compound according to claim 92 wherein R<sup>3</sup> is methyl, ethyl, prop-2-yl, hydroxymethyl, cyanomethyl, trifluoromethyl, -CO<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -CONH<sub>2</sub>, -NHCO<sub>2</sub>C(CH<sub>3</sub>)<sub>3</sub>, -N(CH<sub>3</sub>)<sub>2</sub> or -NH<sub>2</sub>.--

--94. (New) A compound according to claim 93 wherein R<sup>3</sup> is methyl, ethyl, prop-2-yl or trifluoromethyl.--

--95. (New) A compound according to claim 94 wherein R<sup>3</sup> is ethyl.--

--96. (New) A compound according to claim 76 wherein R<sup>4</sup> is phenyl substituted by at least one substituent selected from halo, -CN, C<sub>1</sub>-C<sub>6</sub> alkyl, fluoro-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl and C<sub>1</sub>-C<sub>6</sub> alkoxy.--

--97. (New) A compound according to claim 96 wherein R<sup>4</sup> is phenyl substituted by at least one substituent selected from halo, -CN and C<sub>1</sub>-C<sub>3</sub> alkyl.--

--98. (New) A compound according to claim 97 wherein R<sup>4</sup> is phenyl substituted by at least one substituent selected from fluoro, chloro, bromo, -CN and methyl.--

--99. (New) A compound according to claim 98 wherein R<sup>4</sup> is 3-chlorophenyl, 4-chlorophenyl, 3-fluorophenyl, 3,5-dichlorophenyl, 2,6-difluorophenyl, 3,5-difluorophenyl, 3,5-dibromophenyl, 3,5-dicyanophenyl or 3,5-dimethylphenyl.--

--100. (New) A compound according to claim 97 wherein R<sup>4</sup> is (i) phenyl substituted at the 3 position by fluoro, chloro, methyl or cyano or (ii) phenyl substituted at the 3 and 5 positions by two substituents independently chosen from fluoro, chloro, methyl and cyano.--

--101. (New) A compound according to claim 76 wherein X is -CH<sub>2</sub>-, -CHR<sup>11</sup>-, -CO-, -S- or -SO<sub>2</sub>--

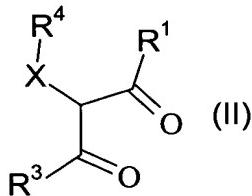
--102. (New) A compound according to claim 101 wherein X is -CH<sub>2</sub>-, -CH(OCH<sub>3</sub>)-, -CO-, -S- or -SO<sub>2</sub>--

--103. (New) A compound according to claim 102 wherein X is -CH<sub>2</sub>- or -S--

--104. (New) A pharmaceutical composition comprising a compound of claim 76 or a pharmaceutically acceptable salt or solvate thereof, and a pharmaceutically acceptable excipient, diluent or carrier.--

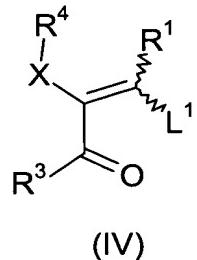
--105. (New) A process for the preparation of a compound of claim 76, wherein R<sup>1</sup> and R<sup>3</sup> are each either H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, phenyl, benzyl, -NH<sub>2</sub>, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, or C-linked R<sup>6</sup>, optionally substituted where allowed, which includes the reaction of

(a) a compound of the formula



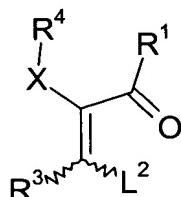
wherein R<sup>1</sup>, R<sup>3</sup> and R<sup>4</sup> are as defined in claim 76;

(b) a compound of the formula



wherein R<sup>1</sup>, R<sup>3</sup>, R<sup>4</sup> and X are as defined in claim 76 and L<sup>1</sup> is a leaving group;

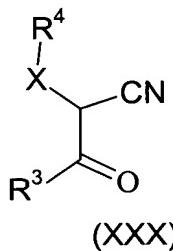
(c) a compound of the formula



(V)

wherein R<sup>1</sup>, R<sup>3</sup>, R<sup>4</sup> and X are as defined in claim 76 and L<sup>2</sup> is a leaving group;

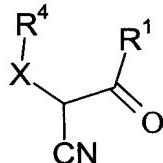
(d) a compound of the formula



(XXX)

wherein R<sup>3</sup>, R<sup>4</sup> and X are as defined in claim 76; or

(e) a compound of the formula



(XXXII)

wherein R<sup>1</sup>, R<sup>4</sup> and X are as defined in claim 76;

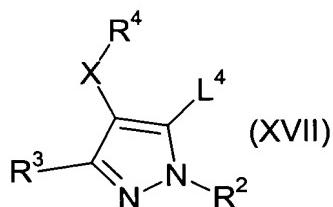
with a compound of the formula



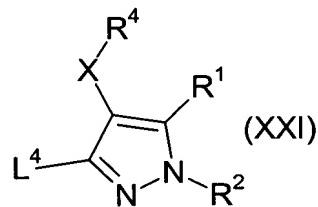
wherein R<sup>2</sup> is as defined in claim 76, or a salt or solvate thereof, optionally followed by the conversion of the compound of claim 76 to a pharmaceutically acceptable salt thereof.-

--106. (New) The process of claim 105, wherein the leaving group for the compound of formula IV and V is dimethylamino.--

--107. (New) A process for the preparation of a compound of claim 76, wherein R<sup>1</sup> or R<sup>3</sup> is -OR<sup>7</sup>, or a pharmaceutically acceptable salt or solvate thereof, includes the reaction of a compound of the formula



wherein R<sup>1</sup>, R<sup>3</sup>, R<sup>4</sup> and X are as defined in claim 76 and L<sup>4</sup> is a leaving group; or a compound of the formula



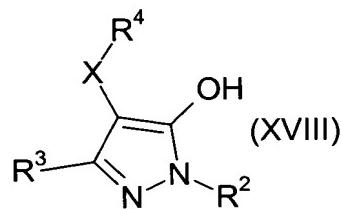
wherein R<sup>1</sup>, R<sup>3</sup>, R<sup>4</sup> and X are as defined in claim 76 and L<sup>4</sup> is a leaving group; with a compound of the formula

R<sup>7</sup>OH (XXV)

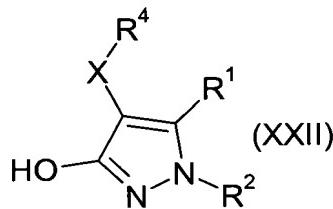
wherein R<sup>7</sup> is as defined in claim 76, in the presence of a catalyst optionally followed by the conversion of the compound of claim 76 to a pharmaceutically acceptable salt thereof.--

--108. (New) The process of claim 107, wherein said catalyst is a palladium catalyst and said leaving group is trifluoromethanesulphonate.--

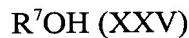
--109. (New) A process for the preparation of a compound claim 76, wherein R<sup>1</sup> or R<sup>3</sup> is -OR<sup>7</sup>, or a pharmaceutically acceptable salt or solvate thereof, which includes the reaction of a compound of the formula



wherein R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup> and X are as defined in claim 76, or a compound of the formula



wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>4</sup> and X are as defined in claim 76, with a compound of the formula

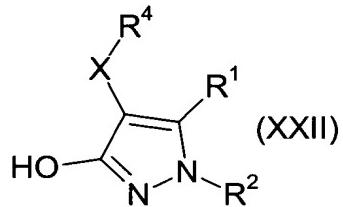


wherein R<sup>7</sup> is as defined in claim 76, under dehydrating conditions, optionally followed by the conversion of the said compound to a pharmaceutically acceptable salt thereof.--

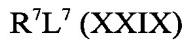
--110. (New) The process of claim 109, wherein the reaction is performed in the presence of a dialkylazodicarboxylate and a triarylphosphine.--

--111. (New) The process of claim 110, wherein said dialkylazodicarboxylate is diethylazodicarboxylate and said triarylphosphine is triphenylphosphine,--

--112. (New) A process for the preparation of a compound of the claim 76, wherein R<sup>1</sup> or R<sup>3</sup> is -OR<sup>7</sup>, or a pharmaceutically acceptable salt or solvate thereof, which includes the reaction of a compound of the formula



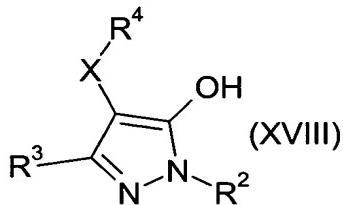
wherein R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup> and X are as defined in claim 76, or a compound of the formula  
wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>4</sup> and X are as defined in claim 76, with a compound of the formula



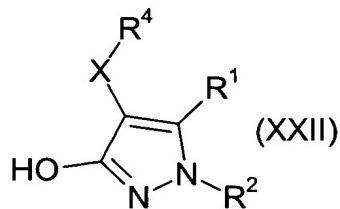
wherein R<sup>7</sup> is as defined in claim 76 and L<sup>7</sup> is a leaving group optionally followed by  
the conversion of said compound to a pharmaceutically acceptable salt thereof.--

--113. (New) The process of claim 112, wherein the leaving group is a halo group.--

--114. (New) A process for the preparation of a compound of claim 76, wherein R<sup>1</sup>  
or R<sup>3</sup> is -OCONR<sup>5</sup>R<sup>5</sup>, or a pharmaceutically acceptable salt or solvate thereof, which includes  
the reaction of a compound of the formula



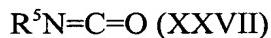
wherein R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup> and X are as defined in claim 76, or a compound of the formula



wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>4</sup> and X are as defined in claim 76, with a compound of the formula



in which R<sup>5</sup> is as defined in claim 76 and L<sup>5</sup> is a leaving group or with a compound of the formula

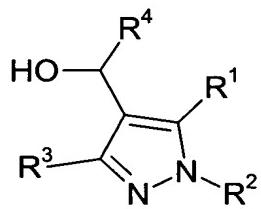


in which R<sup>5</sup> is as defined in claim 76, optionally followed by the conversion of said compound to a pharmaceutically acceptable salt thereof.--

*H-2*  
--115. (New) The process of claim 114, wherein said leaving group is chloro.--

--116. (New) A process for the preparation of a compound of claim 76, wherein X is -CO- or -CHR<sup>10</sup>- and R<sup>10</sup> is C<sub>1</sub>-C<sub>6</sub> alkoxy, or a pharmaceutically acceptable salt or solvate thereof, which includes

(a) the oxidation of a compound of the formula



(XXXIV)

wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> are as defined in claim 76, or

(b) the reaction of a compound of the formula (XXXIV), as defined above, with a compound of the formula

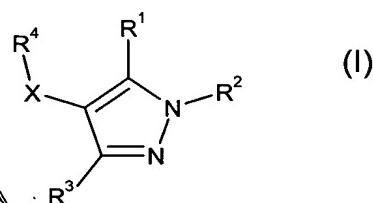
$R^bL^8$  (XXXVIII)

wherein  $R^b$  is  $C_1-C_6$  alkyl and  $L^8$  is a leaving group, optionally followed by the conversion said compound to a pharmaceutically acceptable salt thereof.--

--117. (New) The process of claim 116, wherein said leaving group is chloro, bromo or iodo.--

--118. (New) A process for the preparation of a compound of the claim 76, containing an -OH, -NH- or -NH<sub>2</sub> group or a pharmaceutically acceptable salt or solvate thereof, which includes the deprotection of a corresponding compound bearing an -OP<sup>1</sup>, -NP<sup>1</sup>- or -NHP<sup>1</sup> group, respectively, wherein the group P<sup>1</sup> is a protecting group, optionally followed by the conversion of said compound to a pharmaceutically acceptable salt thereof.--

--119. (New) A method for the treatment of a human immunodeficiency viral (HIV), a genetically related retroviral infection or a resulting acquired immunodeficiency syndrome (AIDS) comprising the administration of an effective amount of a compound of the formula (I)



or a pharmaceutically acceptable salt or solvate thereof, wherein either (i) R<sup>1</sup> is H,  $C_1-C_6$  alkyl,  $C_3-C_7$  cycloalkyl, phenyl, benzyl, halo, -CN, -OR<sup>7</sup>, -OR<sup>8</sup>, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>COR<sup>5</sup>, -NR<sup>5</sup>CO-( $C_1-C_6$  alkylene)-OR<sup>5</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>7</sup> or R<sup>6</sup>, said  $C_1-C_6$  alkyl,  $C_3-C_7$  cycloalkyl, phenyl and benzyl being optionally substituted by halo, -CN, -OR<sup>5</sup>, -OR<sup>8</sup>, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>,

-OCONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>8</sup>R<sup>9</sup>, -NR<sup>5</sup>COR<sup>5</sup>, -NR<sup>5</sup>COR<sup>6</sup>, -NR<sup>5</sup>COR<sup>8</sup>, -SO<sub>2</sub>NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>7</sup> or R<sup>6</sup>, and

R<sup>2</sup> is H or -Y-Z,

or, (ii) R<sup>1</sup> and R<sup>2</sup>, when taken together, represent unbranched C<sub>3</sub>-C<sub>4</sub> alkylene, optionally wherein one methylene group of said C<sub>3</sub>-C<sub>4</sub> alkylene is replaced by an oxygen atom or a nitrogen atom, said nitrogen atom being optionally substituted by R<sup>5</sup> or R<sup>8</sup>;

Y is a direct bond or C<sub>1</sub>-C<sub>3</sub> alkylene;

Z is R<sup>10</sup> or, where Y is C<sub>1</sub>-C<sub>3</sub> alkylene, Z is -NR<sup>5</sup>COR<sup>10</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>10</sup>,

-NR<sup>5</sup>CONR<sup>5</sup>COR<sup>10</sup> or -NR<sup>5</sup>SO<sub>2</sub>R<sup>10</sup>;

R<sup>3</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, phenyl, benzyl, -CN, halo, -OR<sup>7</sup>, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>COR<sup>5</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>7</sup> or R<sup>6</sup>, said C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, phenyl and benzyl being optionally substituted by halo, -CN, -OR<sup>5</sup>, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>COR<sup>5</sup>, -SO<sub>2</sub>NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>7</sup> or R<sup>6</sup>;

R<sup>4</sup> is phenyl or pyridyl, each being optionally substituted by R<sup>6</sup>, halo, -CN, C<sub>1</sub>-C<sub>6</sub> alkyl, fluoro-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl or C<sub>1</sub>-C<sub>6</sub> alkoxy;

each R<sup>5</sup> is independently either H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, fluoro-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, phenyl or benzyl, or, when two such groups are attached to the same nitrogen atom, those two groups taken together with the nitrogen atom to which they are attached represent azetidinyl, pyrrolidinyl, piperidinyl, homopiperidinyl, piperazinyl, homopiperazinyl or morpholinyl, said azetidinyl, pyrrolidinyl, piperidinyl, homopiperidinyl, piperazinyl, homopiperazinyl and morpholinyl being optionally substituted by C<sub>1</sub>-C<sub>6</sub> alkyl or C<sub>3</sub>-C<sub>7</sub> cycloalkyl and said piperazinyl and homopiperazinyl being optionally substituted on the nitrogen atom not taken together with the two R<sup>5</sup> groups to form the ring by -COR<sup>7</sup> or -SO<sub>2</sub>R<sup>7</sup>;

R<sup>6</sup> is a four to six-membered, aromatic, partially unsaturated or saturated heterocyclic group containing (i) from 1 to 4 nitrogen heteroatom(s) or (ii) 1 or 2 nitrogen heteroatom(s) and 1 oxygen or 1 sulphur heteroatom or (iii) 1 or 2 oxygen or sulphur heteroatom(s), said

~~heterocyclic group being optionally substituted by -OR<sup>5</sup>, -NR<sup>5</sup>R<sup>5</sup>, -CN, oxo, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, -COR<sup>7</sup> or halo;~~

$R^7$  is  $C_1-C_6$  alkyl,  $C_3-C_7$  cycloalkyl, fluoro- $(C_1-C_6)$ -alkyl, phenyl or benzyl;

$R^5$  is  $C_1-C_6$  alkyl substituted by phenyl, phenoxy, pyridyl or pyrimidinyl, said phenyl, phenoxy, pyridyl and pyrimidinyl being optionally substituted by halo, -CN, -CONR<sup>5</sup>R<sup>5</sup>, -SO<sub>2</sub>NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -(C<sub>1</sub>-C<sub>6</sub> alkylene)-NR<sup>5</sup>R<sup>5</sup>, C<sub>1</sub>-C<sub>6</sub> alkyl, fluoro-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl or C<sub>1</sub>-C<sub>6</sub> alkoxy;

$R^9$  is H,  $C_1-C_6$  alkyl or  $C_3-C_7$  cycloalkyl, said  $C_1-C_6$  alkyl and  $C_3-C_7$  cycloalkyl being optionally substituted by  $-OR^5$ ,  $-NR^5R^5$ ,  $-NR^5COR^5$ ,  $-CONR^5R^5$  or  $R^6$ ;

$R^{10}$  is  $C_1$ - $C_6$  alkyl,  $C_3$ - $C_6$  alkenyl,  $C_3$ - $C_6$  alkynyl,  $C_3$ - $C_7$  cycloalkyl, phenyl, benzyl or  $C$ -linked  $R^6$ , said  $C_1$ - $C_6$  alkyl,  $C_3$ - $C_7$  cycloalkyl, phenyl and benzyl being optionally substituted by halo,  $-OR^5$ ,  $-OR^{12}$ ,  $-CN$ ,  $-CO_2R^7$ ,  $-CONR^5R^5$ ,  $-OCONR^5R^5$ ,  $-C(=NR^5)NR^5OR^5$ ,  $-CONR^5NR^5R^5$ ,  $-OCONR^5CO_2R^7$ ,  $-NR^5R^5$ ,  $-NR^5R^{12}$ ,  $-NR^5COR^5$ ,  $-NR^5CO_2R^7$ ,  $-NR^5CONR^5R^5$ ,  $-NR^5COCONR^5R^5$ ,  $-NR^5SO_2R^7$ ,  $-SO_2NR^5R^5$  or  $R^6$ ;

X is -CH<sub>2</sub>- or -CHR<sup>11</sup>-; CO-, S-, SO- or SO<sub>2</sub>-;

$R^{11}$  is  $C_1$ - $C_6$  alkyl,  $C_3$ - $C_7$  cycloalkyl, fluoro-( $C_1$ - $C_6$ )-alkyl or  $C_1$ - $C_6$  alkoxy; and

$R^{12}$  is  $C_1$ - $C_6$  alkyl substituted by  $R^6$ ,  $-OR^5$ ,  $-CONR^5R^5$ ,  $-NR^5COR^5$  or  $-NR^5R^5$ .

--120. (New) The method of claim 119, wherein R<sup>1</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl, -OR<sup>7</sup>, -CO<sub>2</sub>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO-(C<sub>1</sub>-C<sub>6</sub> alkylene)-OR<sup>5</sup> or R<sup>6</sup>, said C<sub>1</sub>-C<sub>6</sub> alkyl being optionally substituted by halo, -CN, -OR<sup>5</sup>, -OR<sup>8</sup>, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>8</sup>R<sup>9</sup>, -NR<sup>5</sup>COR<sup>5</sup>, -NR<sup>5</sup>COR<sup>6</sup>, -NR<sup>5</sup>COR<sup>8</sup>, -SO<sub>2</sub>NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>7</sup> or R<sup>6</sup>.--

--121. (New) The method of claim 120, wherein R<sup>1</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl, -OR<sup>7</sup>, -CO<sub>2</sub>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO-(C<sub>1</sub>-C<sub>6</sub> alkylene)-OR<sup>5</sup> or R<sup>6</sup>, said C<sub>1</sub>-C<sub>6</sub> alkyl being optionally substituted by halo or -OR<sup>5</sup>.--

--122. (New) The method of claim 121, wherein R<sup>1</sup> is C<sub>1</sub>-C<sub>3</sub> alkyl, -OCH<sub>3</sub>, -CO<sub>2</sub>(C<sub>1</sub>-C<sub>2</sub> alkyl), -NHCO<sub>2</sub>(C<sub>1</sub>-C<sub>2</sub> alkyl), -NH<sub>2</sub>, -N(CH<sub>3</sub>)<sub>2</sub>, -NHCOCH<sub>2</sub>OCH<sub>3</sub> or furanyl, said C<sub>1</sub>-C<sub>3</sub> alkyl being optionally substituted by fluoro or -OH.--

--123. (New) The method of claim 122, wherein R<sup>1</sup> is methyl, ethyl, prop-2-yl, hydroxymethyl, trifluoromethyl, -OCH<sub>3</sub>, -CO<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -NHCO<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -NH<sub>2</sub>, -N(CH<sub>3</sub>)<sub>2</sub>, -NHCOCH<sub>2</sub>OCH<sub>3</sub> or furan-2-yl.--

--124. (New) The method of claim 123, wherein R<sup>1</sup> is ethyl.--

--125. (New) The method of claim 119 wherein R<sup>1</sup> is methyl, ethyl, trifluoromethyl or -CH<sub>2</sub>NHCH<sub>2</sub>(4-cyanophenyl).--

--126. (New) The method of claim 119 wherein R<sup>2</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl, -(C<sub>1</sub>-C<sub>3</sub> alkylene)-NR<sup>5</sup>CO-(C<sub>1</sub>-C<sub>6</sub> alkyl), -(C<sub>1</sub>-C<sub>3</sub> alkylene)-NR<sup>5</sup>CONR<sup>5</sup>-(C<sub>1</sub>-C<sub>6</sub> alkyl), -(C<sub>1</sub>-C<sub>3</sub> alkylene)-NR<sup>5</sup>CONR<sup>5</sup>CO-(phenyl), -(C<sub>1</sub>-C<sub>3</sub> alkylene)-NR<sup>5</sup>SO<sub>2</sub>(C-linked R<sup>6</sup>), -(C<sub>1</sub>-C<sub>3</sub> alkylene)-NR<sup>5</sup>CO(C-linked R<sup>6</sup>), -(C<sub>1</sub>-C<sub>3</sub> alkylene)-NR<sup>5</sup>CO-(phenyl), each C<sub>1</sub>-C<sub>6</sub> alkyl and phenyl being optionally substituted by halo, -OR<sup>5</sup>, -OR<sup>12</sup>, -CN, -CO<sub>2</sub>R<sup>7</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>R<sup>5</sup>, -C(=NR<sup>5</sup>)NR<sup>5</sup>OR<sup>5</sup>, -CONR<sup>5</sup>NR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>R<sup>12</sup>, -NR<sup>5</sup>COR<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>COCONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>7</sup>, -SO<sub>2</sub>NR<sup>5</sup>R<sup>5</sup> or R<sup>6</sup>.--

--127. (New) The method of claim 126, wherein R<sup>2</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl, -(C<sub>1</sub>-C<sub>3</sub> alkylene)-NR<sup>5</sup>CO-(C<sub>1</sub>-C<sub>6</sub> alkyl), -(C<sub>1</sub>-C<sub>3</sub> alkylene)-NR<sup>5</sup>CONR<sup>5</sup>-(C<sub>1</sub>-C<sub>6</sub> alkyl), -(C<sub>1</sub>-C<sub>3</sub> alkylene)-NR<sup>5</sup>CONR<sup>5</sup>CO-(phenyl), -(C<sub>1</sub>-C<sub>3</sub> alkylene)-NR<sup>5</sup>SO<sub>2</sub>R<sup>6</sup>, -(C<sub>1</sub>-C<sub>3</sub> alkylene)-NR<sup>5</sup>COR<sup>6</sup>, -(C<sub>1</sub>-C<sub>3</sub> alkylene)-NR<sup>5</sup>CO-(phenyl), each C<sub>1</sub>-C<sub>6</sub> alkyl and phenyl being optionally substituted by halo, -OR<sup>5</sup>, -CN, -CO<sub>2</sub>R<sup>7</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>COCONR<sup>5</sup>R<sup>5</sup> or R<sup>6</sup>.--

--128. (New) The method of claim 127, wherein R<sup>2</sup> is H, C<sub>1</sub>-C<sub>3</sub> alkyl, -(C<sub>1</sub>-C<sub>2</sub> alkylene)-NHCO-(C<sub>1</sub>-C<sub>3</sub> alkyl), -(C<sub>1</sub>-C<sub>2</sub> alkylene)-NHCONH-(C<sub>1</sub>-C<sub>3</sub> alkyl), -(C<sub>1</sub>-C<sub>2</sub> alkylene)-NHCONHCO-(phenyl), -(C<sub>1</sub>-C<sub>2</sub> alkylene)-NHSO<sub>2</sub>R<sup>6</sup>, -(C<sub>1</sub>-C<sub>2</sub> alkylene)-NHCOR<sup>6</sup>, -(C<sub>1</sub>-C<sub>2</sub> alkylene)-NHCO-(phenyl), each C<sub>1</sub>-C<sub>3</sub> alkyl and phenyl being optionally substituted by fluoro, -OH, -O(C<sub>1</sub>-C<sub>6</sub> alkyl), -CN, -CO<sub>2</sub>(C<sub>1</sub>-C<sub>6</sub> alkyl), -CONH<sub>2</sub>, -OCONH<sub>2</sub>, -OCONHCO<sub>2</sub>Ph, -NH<sub>2</sub>, -N(C<sub>1</sub>-C<sub>6</sub> alkyl)<sub>2</sub>, -NHCONH<sub>2</sub>, -NHCOCOCONH<sub>2</sub> or R<sup>6</sup>.--

--129. (New) The method of claim 126, wherein R<sup>6</sup> is 2,4-dihydroxypyrimidinyl, 1-methylimidazolyl, tetrahydrofuranyl, 1,5-dimethylpyrazolyl, tetrazolyl, pyridinyl, pyrimidinyl, 3-hydroxypyridazinyl, 2-hydroxypyridinyl, 2-oxo-2H-pyranyl or 1,2,3-thiadiazolyl.--

--130. (New) The method of claim 128, wherein R<sup>2</sup> is H, -CH<sub>2</sub>OH, -CH<sub>2</sub>CH<sub>2</sub>OH, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>OH, -CH<sub>2</sub>OCONH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>OCONH<sub>2</sub>, -CH<sub>2</sub>OCONHCO<sub>2</sub>Ph, -CH<sub>2</sub>CO<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -CH<sub>2</sub>CH<sub>2</sub>CO<sub>2</sub>CH<sub>3</sub>, -CH<sub>2</sub>CH<sub>2</sub>CO<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -CH<sub>2</sub>CH<sub>2</sub>CONH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>NHCOCH<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>NHCOCH<sub>2</sub>OCH<sub>3</sub>, -CH<sub>2</sub>CH<sub>2</sub>NHCOCH<sub>2</sub>OCH<sub>2</sub>CH<sub>3</sub>, -CH<sub>2</sub>CH<sub>2</sub>NHCOCH<sub>2</sub>NHCONH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>NHCOCOCONH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>NHCONHCH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -CH<sub>2</sub>CH<sub>2</sub>NHCONHCOPh, -CH<sub>2</sub>CH<sub>2</sub>NHCONHCO(2,6-difluorophenyl), -CH<sub>2</sub>CH<sub>2</sub>NHSO<sub>2</sub>(2,4-dihydroxypyrimidin-5-yl), -CH<sub>2</sub>CH<sub>2</sub>NHSO<sub>2</sub>(1-methylimidazol-4-yl), -CH<sub>2</sub>CH<sub>2</sub>NHCO(tetrahydrofuran-2-yl), -CH<sub>2</sub>CH<sub>2</sub>NHCO(1,5-dimethylpyrazol-3-yl), -CH<sub>2</sub>CH<sub>2</sub>NHCO(tetrazol-1-yl), -CH<sub>2</sub>CH<sub>2</sub>NHCOPh, -CH<sub>2</sub>CH<sub>2</sub>NHCO(pyridin-2-yl), -CH<sub>2</sub>CH<sub>2</sub>NHCO(pyrimidin-2-yl), -CH<sub>2</sub>CH<sub>2</sub>NHCO(2-fluorophenyl), -CH<sub>2</sub>CH<sub>2</sub>NHCO(3-hydroxyphenyl), -CH<sub>2</sub>CH<sub>2</sub>NHCO(3-hydroxypyridazin-6-yl), -CH<sub>2</sub>CH<sub>2</sub>NHCO(2-hydroxypyridin-6-yl), -CH<sub>2</sub>CH<sub>2</sub>NHCO(2-oxo-2H-pyran-5-yl) or -CH<sub>2</sub>CH<sub>2</sub>NHCO(1,2,3-thiadiazol-4-yl).--

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--131. (New) The method of claim 119, wherein R<sup>2</sup> is H, methyl, -CH<sub>2</sub>CH<sub>2</sub>OH, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>OH, -CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>CN, -CH<sub>2</sub>CH<sub>2</sub>OCH<sub>3</sub>, -CH<sub>2</sub>CONH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>NHCOCH<sub>2</sub>OCH<sub>3</sub> or azetidin-3-yl.--

--132. (New) The method of claim 131 wherein R<sup>2</sup> is -CH<sub>2</sub>CH<sub>2</sub>OH, -CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>CN or azetidin-3-yl.--

--133. (New) The method of claim 132 wherein R<sup>3</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup> or -NR<sup>5</sup>R<sup>5</sup>, said C<sub>1</sub>-C<sub>6</sub> alkyl being optionally substituted by halo, -CN, -OR<sup>5</sup>, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>COR<sup>5</sup>, -SO<sub>2</sub>NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>7</sup> or R<sup>6</sup>.--

--134. (New) The method of claim 133 wherein R<sup>3</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>5</sup> or -NR<sup>5</sup>R<sup>5</sup>, said C<sub>1</sub>-C<sub>6</sub> alkyl being optionally substituted by halo, -CN or -OR<sup>5</sup>.--

--135. (New) The method of claim 134 wherein R<sup>3</sup> is C<sub>1</sub>-C<sub>3</sub> alkyl, -CO<sub>2</sub>(C<sub>1</sub>-C<sub>2</sub> alkyl), -CONH<sub>2</sub>, -NHCO<sub>2</sub>(C<sub>1</sub>-C<sub>4</sub> alkyl), -N(CH<sub>3</sub>)<sub>2</sub> or -NH<sub>2</sub>, said C<sub>1</sub>-C<sub>3</sub> alkyl being optionally substituted by halo, -CN or -OH.--

--136. (New) The method of claim 135 wherein R<sup>3</sup> is methyl, ethyl, prop-2-yl, hydroxymethyl, cyanomethyl, trifluoromethyl, -CO<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -CONH<sub>2</sub>, -NHCO<sub>2</sub>C(CH<sub>3</sub>)<sub>3</sub>, -N(CH<sub>3</sub>)<sub>2</sub> or -NH<sub>2</sub>.--

--137. (New) The method of claim 136 wherein R<sup>3</sup> is methyl, ethyl, prop-2-yl or trifluoromethyl.--

--138. (New) The method of claim 137 wherein R<sup>3</sup> is ethyl.--

--139. (New) The method of claim 119 wherein R<sup>4</sup> is phenyl optionally substituted by R<sup>6</sup>, halo, -CN, C<sub>1</sub>-C<sub>6</sub> alkyl, fluoro-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl or C<sub>1</sub>-C<sub>6</sub> alkoxy.--

--140. (New) The method of claim 139 wherein R<sup>4</sup> is phenyl substituted by halo, -CN or C<sub>1</sub>-C<sub>3</sub> alkyl.--

 --141. (New) The method of claim 140 wherein R<sup>4</sup> is phenyl substituted by fluoro, chloro, bromo, -CN, or methyl.--

--142. (New) The method of claim 141 wherein R<sup>4</sup> is 3-chlorophenyl, 4-chlorophenyl, 3-fluorophenyl, 3,5-dichlorophenyl, 2,6-difluorophenyl, 3,5-difluorophenyl, 3,5-dibromophenyl, 3,5-dicyanophenyl or 3,5-dimethylphenyl.--

--143. (New) The method of claim 142 wherein R<sup>4</sup> is (i) phenyl substituted at the 3 position by fluoro, chloro, methyl or cyano or (ii) phenyl substituted at the 3 and 5 positions by two substituents independently chosen from fluoro, chloro, methyl and cyano.--

--144. (New) The method of claim 119 wherein X is -CH<sub>2</sub>-, -CHR<sup>11</sup>-, -CO-, -S- or -SO<sub>2</sub>-.--

--145. (New) The method of claim 144 wherein X is -CH<sub>2</sub>-, -CH(OCH<sub>3</sub>)-, -CO-, -S- or -SO<sub>2</sub>-.--

--146. (New) The method of claim 145 wherein X is -CH<sub>2</sub>- or -S-.--

--147. (New) The method of claim 119 wherein the compound of the formula (I) is selected from the group consisting of: 2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3-chlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3,5-difluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3-fluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3,5-dichlorobenzyl)-5-isopropyl-3-methyl-1*H*-pyrazol-1-yl]ethanol;

ethyl [4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]acetate;

ethyl [4-(3-fluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]acetate;

*N*<sup>1</sup>-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}ethanediamide;

*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-6-oxo-1,6-dihydro-3-pyridazinecarboxamide;

*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-1,5-dimethyl-1*H*-pyrazole-3-carboxamide;

2-[(aminocarbonyl)amino]-*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}acetamide;

*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-ethoxyacetamide;

*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-pyridinecarboxamide;

*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-methoxyacetamide;

*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-6-oxo-1,6-dihydro-2-pyridinecarboxamide;

*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-pyrazinecarboxamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-oxo-2*H*-pyran-5-carboxamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-(1*H*-tetraazol-1-yl)acetamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl} tetrahydro-2-furancarboxamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-3-hydroxybenzamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-hydroxyacetamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-1,2,3-thiadiazole-4-carboxamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-(dimethylamino)acetamide;

2-cyano-N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl} acetamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-fluorobenzamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-*N*'-propylurea;

N-benzoyl-*N*{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl} urea;

2-[4-(3,5-dichlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]ethanol;

ethyl [4-(3,5-dichlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]acetate;

ethyl [4-(3,5-dichlorobenzyl)-5-isopropyl-3-methyl-1*H*-pyrazol-1-yl]acetate;

4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazole; .

2-[4-(3,5-dichlorobenzyl)-3,5-dimethyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3,5-dichlorobenzyl)-5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]ethanol;

2-{4-[(4-chlorophenyl)sulfanyl]-3,5-dimethyl-1*H*-pyrazol-1-yl} ethanol;

ethyl [4-(3-chlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]acetate;

ethyl [4-(3,5-difluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]acetate;

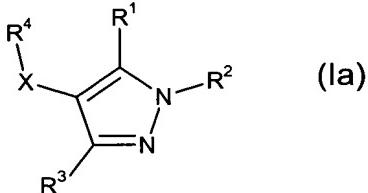
4-(3,5-dichlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazole;  
4-(3,5-difluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazole;  
4-(3-fluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazole;  
4-(3-chlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazole;  
2-{4-[(3,5-dichlorophenyl)sulfanyl]-3,5-dimethyl-1*H*-pyrazol-1-yl}ethanol;  
2-{4-[(3,5-dichlorophenyl)sulfonyl]-3,5-dimethyl-1*H*-pyrazol-1-yl}ethanol;  
4-(3,5-dichlorobenzyl)-3,5-dimethyl-1*H*-pyrazole;  
2-[4-(3,5-dichlorobenzyl)-3,5-dimethyl-1*H*-pyrazol-1-yl]ethanamine;  
2-[4-(3,5-dichlorobenzyl)-5-ethyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]ethanol;  
2-[4-(3,5-dichlorobenzyl)-3-ethyl-5-(trifluoromethyl)-1*H*-pyrazol-1-yl]ethanol;  
2-[4-(3,5-dichlorobenzyl)-5-ethyl-3-methyl-1*H*-pyrazol-1-yl]ethanol;  
2-[4-(3,5-dichlorobenzyl)-3-ethyl-5-methyl-1*H*-pyrazol-1-yl]ethanol;  
2-[4-(3,5-dichlorobenzyl)-3-(dimethylamino)-5-methyl-1*H*-pyrazol-1-yl]ethanol;  
2-[4-(3,5-dimethylbenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethanol;  
2-[4-(3,5-dichlorobenzyl)-5-methoxy-3-methyl-1*H*-pyrazol-1-yl]ethanol;  
2-[4-(3,5-dichlorobenzyl)-5-(2-furyl)-3-methyl-1*H*-pyrazol-1-yl]ethanol;  
(3,5-dichlorophenyl)[3,5-diethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-4-yl]methanone;  
(±)-2-{4-[(3,5-dichlorophenyl)(methoxy)methyl]-3,5-diethyl-1*H*-pyrazol-1-yl}ethanol;  
2-[4-(2,6-difluorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethanol;  
2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl carbamate;  
methyl 3-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]propanoate;  
ethyl 3-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]propanoate;  
3-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]propanamide;  
3-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]-1-propanol;  
[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]methanol;  
[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]methyl carbamate;

2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethanamine;  
*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}benzamide;  
*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-1-methyl-1*H*-imidazole-4-sulfonamide;  
ethyl 4-[(3,5-dichlorophenyl)sulfanyl]-5-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazole-3-carboxylate;  
ethyl 4-[(3,5-dichlorophenyl)sulfanyl]-3-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazole-5-carboxylate;  
4-[(3,5-dichlorophenyl)sulfanyl]-5-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazole-3-carboxamide;  
2-[4-[(3,5-dichlorophenyl)sulfanyl]-5-ethyl-3-(hydroxymethyl)-1*H*-pyrazol-1-yl]ethanol;  
3-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]-1-propanamine;  
2-[4-[(3,5-dichlorophenyl)sulfanyl]-3-ethyl-5-(hydroxymethyl)-1*H*-pyrazol-1-yl]ethanol;  
*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2,2-difluoroacetamide;  
[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]methyl phenyl imidodicarbonate;  
*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-*N*-(2,6-difluorobenzoyl)urea;  
*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2,4-dioxo-1,2,3,4-tetrahydro-5-pyrimidinesulfonamide;  
ethyl 4-[(3,5-dichlorophenyl)sulfanyl]-5-ethyl-1*H*-pyrazole-3-carboxylate;  
[4-(3,5-dichlorophenyl)sulfanyl]-5-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-3-yl]acetonitrile;  
[4-(3,5-dichlorophenyl)sulfonyl]-5-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-3-yl]acetonitrile;

2-{4-[(3,5-dichlorophenyl)sulfanyl]-3,5-diethyl-1*H*-pyrazol-1-yl}ethanol;  
4-(3,5-dichlorobenzyl)-3-ethyl-1*H*-pyrazol-5-amine;  
ethyl 4-(3,5-dichlorobenzyl)-3-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-5-ylcarbamate;  
*N*-[4-(3,5-dichlorobenzyl)-3-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-5-yl]-2-methoxyacetamide;  
2-[4-(3,5-dichlorobenzyl)-5-(dimethylamino)-3-ethyl-1*H*-pyrazol-1-yl]ethanol;  
ethyl                  4-(3,5-dichlorobenzyl)-1-(2-hydroxyethyl)-5-methyl-1*H*-pyrazole-3-carboxylate;  
ethyl                  4-(3,5-dichlorobenzyl)-1-(2-hydroxyethyl)-3-methyl-1*H*-pyrazole-5-carboxylate;  
*tert*-butyl                  4-(3,5-dichlorobenzyl)-1-(2-hydroxyethyl)-5-methyl-1*H*-pyrazol-3-ylcarbamate;  
2-[3-amino-4-(3,5-dichlorobenzyl)-5-methyl-1*H*-pyrazol-1-yl]ethanol;  
ethyl [4-(3,5-dichlorobenzyl)-5-methoxy-3-methyl-1*H*-pyrazol-1-yl]acetate;  
2-[5-amino-4-(3,5-dichlorobenzyl)-3-ethyl-1*H*-pyrazol-1-yl]ethanol;  
5-{{[3,5-diethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-4-yl]methyl}isophthalonitrile;  
5-[(3,5-diethyl-1*H*-pyrazol-4-yl)methyl]isophthalonitrile;  
5-{{[1-(2-aminoethyl)-3,5-diethyl-1*H*-pyrazol-4-yl]methyl}isophthalonitrile;  
2-{4-[(3,5-dibromophenyl)sulfanyl]-3,5-diethyl-1*H*-pyrazol-1-yl}ethanol; and  
5-{{[3,5-diethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-4-yl]sulfanyl}isophthalonitrile;  
and the pharmaceutically acceptable salts and solvates thereof.--

--148. (New) The method of claim 147, wherein said compound selected from the group consisting of 2-{4-[(3,5-dichlorophenyl)sulfanyl]-3,5-dimethyl-1*H*-pyrazol-1-yl}ethanol; 2-[4-[(3,5-dichlorophenyl)sulfanyl]-3-ethyl-5-(hydroxymethyl)-1*H*-pyrazol-1-yl]ethanol; and 2-{4-[(3,5-dichlorophenyl)sulfanyl]-3,5-diethyl-1*H*-pyrazol-1-yl}ethanol.--

*Sus*  
*32*  
--149. (New) A method for the treatment of a human immunodeficiency viral (HIV), or genetically related retroviral, infection or a resulting acquired immunodeficiency syndrome (AIDS) comprising the administration of an effective amount of a compound of formula (Ia)



or a pharmaceutically acceptable salt or solvate thereof, wherein:

R<sup>1</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, phenyl, benzyl, halo, -OR<sup>5</sup>, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>6</sup>, -OCONR<sup>5</sup>R<sup>6</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>6</sup>, -NR<sup>5</sup>R<sup>6</sup>, -NR<sup>5</sup>COR<sup>6</sup>, -SO<sub>2</sub>NR<sup>5</sup>R<sup>6</sup>, -NR<sup>5</sup>CONR<sup>6</sup>R<sup>7</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>6</sup> or R<sup>8</sup>, said C<sub>1</sub>-C<sub>6</sub> alkyl, phenyl and benzyl being optionally substituted by halo, -OR<sup>5</sup>, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>6</sup>, -OCONR<sup>5</sup>R<sup>6</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>6</sup>, -NR<sup>5</sup>R<sup>6</sup>, -NR<sup>5</sup>COR<sup>6</sup>, -SO<sub>2</sub>NR<sup>5</sup>R<sup>6</sup>, -NR<sup>5</sup>CONR<sup>6</sup>R<sup>7</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>6</sup> or R<sup>8</sup>;

R<sup>2</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, phenyl, benzyl or C-linked R<sup>12</sup>, said C<sub>1</sub>-C<sub>6</sub> alkyl, phenyl and benzyl being optionally substituted by -OR<sup>9</sup>, -CO<sub>2</sub>R<sup>9</sup>, -CO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>, -NR<sup>9</sup>R<sup>10</sup>, -NR<sup>9</sup>COR<sup>10</sup>, -NR<sup>9</sup>CO<sub>2</sub>R<sup>10</sup>, -NR<sup>9</sup>CONR<sup>10</sup>R<sup>11</sup>, -SO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>, -NR<sup>9</sup>SO<sub>2</sub>R<sup>10</sup> or R<sup>12</sup>;

R<sup>3</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, phenyl, benzyl, halo, -OR<sup>13</sup>, -CO<sub>2</sub>R<sup>13</sup>, -CONR<sup>13</sup>R<sup>14</sup>, -OCONR<sup>13</sup>R<sup>14</sup>, -NR<sup>13</sup>CO<sub>2</sub>R<sup>14</sup>, -NR<sup>13</sup>R<sup>14</sup>, -NR<sup>13</sup>COR<sup>14</sup>, -SO<sub>2</sub>NR<sup>13</sup>R<sup>14</sup>, -NR<sup>13</sup>CONR<sup>14</sup>R<sup>15</sup>, -NR<sup>13</sup>SO<sub>2</sub>R<sup>14</sup> or R<sup>16</sup>, said C<sub>1</sub>-C<sub>6</sub> alkyl, phenyl and benzyl being optionally substituted by halo, -OR<sup>13</sup>, -CO<sub>2</sub>R<sup>13</sup>, -CONR<sup>13</sup>R<sup>14</sup>, -OCONR<sup>13</sup>R<sup>14</sup>, -NR<sup>13</sup>CO<sub>2</sub>R<sup>14</sup>, -NR<sup>13</sup>R<sup>14</sup>, -NR<sup>13</sup>COR<sup>14</sup>, -SO<sub>2</sub>NR<sup>13</sup>R<sup>14</sup>, -NR<sup>13</sup>CONR<sup>14</sup>R<sup>15</sup>, -NR<sup>13</sup>SO<sub>2</sub>R<sup>14</sup> or R<sup>16</sup>;

R<sup>4</sup> is phenyl or pyridyl, each being optionally substituted by halo, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl or C<sub>1</sub>-C<sub>6</sub> alkoxy;

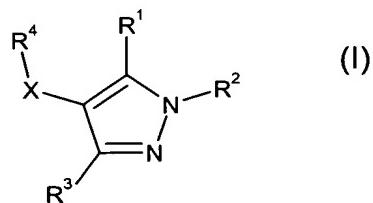
R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup>, R<sup>13</sup>, R<sup>14</sup> and R<sup>15</sup> are either each H, C<sub>1</sub>-C<sub>6</sub> alkyl or C<sub>3</sub>-C<sub>7</sub> cycloalkyl or, when two such groups are attached to the same nitrogen atom, those two groups taken together with the nitrogen atom to which they are attached may represent azetidinyl, pyrrolidinyl, piperidinyl, homopiperidinyl, piperazinyl, homopiperazinyl or

*Crossed out*  
morpholinyl, said azetidinyl, pyrrolidinyl, piperidinyl, homopiperidinyl, piperazinyl, homopiperazinyl and morpholinyl being optionally substituted by C<sub>1</sub>-C<sub>6</sub> alkyl or C<sub>3</sub>-C<sub>7</sub> cycloalkyl;

R<sup>8</sup>, R<sup>12</sup> and R<sup>16</sup> are each a five- or six-membered heterocyclic group containing 1 to 4 heteroatoms selected from O, N and S and optionally substituted by oxo, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl or halo; and

X is -CH<sub>2</sub>-, -S-, -SO- or -SO<sub>2</sub>--

*Sub*  
*Sub A4*  
--150A (New) A method for the treatment of a disorder treatable by the inhibition of reverse transcriptase, comprising the administration of an effective amount of a compound of the formula (I),



or a pharmaceutically acceptable salt or solvate thereof, wherein

either (i) R<sup>1</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, phenyl, benzyl, halo, -CN, -OR<sup>7</sup>, -OR<sup>8</sup>, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>COR<sup>5</sup>, -NR<sup>5</sup>CO-(C<sub>1</sub>-C<sub>6</sub> alkylene)-OR<sup>5</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>7</sup> or R<sup>6</sup>, said C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, phenyl and benzyl being optionally substituted by halo, -CN, -OR<sup>5</sup>, -OR<sup>8</sup>, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>8</sup>R<sup>9</sup>, -NR<sup>5</sup>COR<sup>5</sup>, -NR<sup>5</sup>COR<sup>6</sup>, -NR<sup>5</sup>COR<sup>8</sup>, -SO<sub>2</sub>NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>7</sup> or R<sup>6</sup>, and

R<sup>2</sup> is H or -Y-Z,

or, (ii) R<sup>1</sup> and R<sup>2</sup>, when taken together, represent unbranched C<sub>3</sub>-C<sub>4</sub> alkylene, optionally wherein one methylene group of said C<sub>3</sub>-C<sub>4</sub> alkylene is replaced by an oxygen atom or a nitrogen atom, said nitrogen atom being optionally substituted by R<sup>5</sup> or R<sup>8</sup>;

Y is a direct bond or C<sub>1</sub>-C<sub>3</sub> alkylene;

~~Z~~ is  $R^{10}$  or, where Y is  $C_1$ - $C_3$  alkylene, Z is  $-NR^5COR^{10}$ ,  $-NR^5CONR^5R^{10}$ ,  $-NR^5CONR^5COR^{10}$  or  $-NR^5SO_2R^{10}$ ;

$R^3$  is H,  $C_1$ - $C_6$  alkyl,  $C_3$ - $C_7$  cycloalkyl, phenyl, benzyl, -CN, halo, -OR<sup>7</sup>, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>COR<sup>5</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>7</sup> or R<sup>6</sup>, said  $C_1$ - $C_6$  alkyl,  $C_3$ - $C_7$  cycloalkyl, phenyl and benzyl being optionally substituted by halo, -CN, -OR<sup>5</sup>, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>COR<sup>5</sup>, -SO<sub>2</sub>NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>7</sup> or R<sup>6</sup>;

$R^4$  is phenyl or pyridyl, each being optionally substituted by R<sup>6</sup>, halo, -CN,  $C_1$ - $C_6$  alkyl, fluoro-( $C_1$ - $C_6$ )-alkyl,  $C_3$ - $C_7$  cycloalkyl or  $C_1$ - $C_6$  alkoxy;

$R^5$  is independently either H,  $C_1$ - $C_6$  alkyl,  $C_3$ - $C_7$  cycloalkyl, fluoro-( $C_1$ - $C_6$ )-alkyl, phenyl or benzyl, or, when two such groups are attached to the same nitrogen atom, those two groups taken together with the nitrogen atom to which they are attached represent azetidinyl, pyrrolidinyl, piperidinyl, homopiperidinyl, piperazinyl, homopiperazinyl or morpholinyl, said azetidinyl, pyrrolidinyl, piperidinyl, homopiperidinyl, piperazinyl, homopiperazinyl and morpholinyl being optionally substituted by  $C_1$ - $C_6$  alkyl or  $C_3$ - $C_7$  cycloalkyl and said piperazinyl and homopiperazinyl being optionally substituted on the nitrogen atom not taken together with the two R<sup>5</sup> groups to form the ring by -COR<sup>7</sup> or -SO<sub>2</sub>R<sup>7</sup>;

$R^6$  is a four to six-membered, aromatic, partially unsaturated or saturated heterocyclic group containing (i) from 1 to 4 nitrogen heteroatom(s) or (ii) 1 or 2 nitrogen heteroatom(s) and 1 oxygen or 1 sulphur heteroatom or (iii) 1 or 2 oxygen or sulphur heteroatom(s), said heterocyclic group being optionally substituted by -OR<sup>5</sup>, -NR<sup>5</sup>R<sup>5</sup>, -CN, oxo,  $C_1$ - $C_6$  alkyl,  $C_3$ - $C_7$  cycloalkyl, -COR<sup>7</sup> or halo;

$R^7$  is  $C_1$ - $C_6$  alkyl,  $C_3$ - $C_7$  cycloalkyl, fluoro-( $C_1$ - $C_6$ )-alkyl, phenyl or benzyl;

$R^8$  is  $C_1$ - $C_6$  alkyl substituted by phenyl, phenoxy, pyridyl or pyrimidinyl, said phenyl, phenoxy, pyridyl and pyrimidinyl being optionally substituted by halo, -CN, -CONR<sup>5</sup>R<sup>5</sup>, -SO<sub>2</sub>NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -( $C_1$ - $C_6$  alkylene)-NR<sup>5</sup>R<sup>5</sup>,  $C_1$ - $C_6$  alkyl, fluoro-( $C_1$ - $C_6$ )-alkyl,  $C_3$ - $C_7$  cycloalkyl or  $C_1$ - $C_6$  alkoxy;

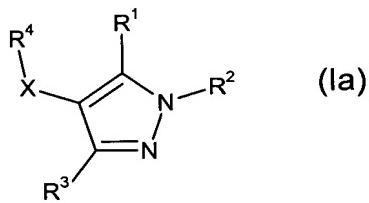
R<sup>9</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl or C<sub>3</sub>-C<sub>7</sub> cycloalkyl, said C<sub>1</sub>-C<sub>6</sub> alkyl and C<sub>3</sub>-C<sub>7</sub> cycloalkyl being optionally substituted by -OR<sup>5</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>COR<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup> or R<sup>6</sup>;

R<sup>10</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>6</sub> alkenyl, C<sub>3</sub>-C<sub>6</sub> alkynyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, phenyl, benzyl or C-linked R<sup>6</sup>, said C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, phenyl and benzyl being optionally substituted by halo, -OR<sup>5</sup>, -OR<sup>12</sup>, -CN, -CO<sub>2</sub>R<sup>7</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>R<sup>5</sup>, -C(=NR<sup>5</sup>)NR<sup>5</sup>OR<sup>5</sup>, -CONR<sup>5</sup>NR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>R<sup>12</sup>, -NR<sup>5</sup>COR<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>COCONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>7</sup>, -SO<sub>2</sub>NR<sup>5</sup>R<sup>5</sup> or R<sup>6</sup>;

X is -CH<sub>2</sub>-, -CHR<sup>11</sup>-, -CO-, -S-, -SO- or -SO<sub>2</sub>-;

R<sup>11</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, fluoro-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or C<sub>1</sub>-C<sub>6</sub> alkoxy; and

R<sup>12</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl substituted by R<sup>6</sup>, -OR<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>COR<sup>5</sup> or -NR<sup>5</sup>R<sup>5</sup> or a compound of the formula (Ia)



or a pharmaceutically acceptable salt or solvate thereof, wherein:

R<sup>1</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, phenyl, benzyl, halo, -OR<sup>5</sup>, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>6</sup>, -OCONR<sup>5</sup>R<sup>6</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>6</sup>, -NR<sup>5</sup>R<sup>6</sup>, -NR<sup>5</sup>COR<sup>6</sup>, -SO<sub>2</sub>NR<sup>5</sup>R<sup>6</sup>, -NR<sup>5</sup>CONR<sup>6</sup>R<sup>7</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>6</sup> or R<sup>8</sup>, said C<sub>1</sub>-C<sub>6</sub> alkyl, phenyl and benzyl being optionally substituted by halo, -OR<sup>5</sup>, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>6</sup>, -OCONR<sup>5</sup>R<sup>6</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>6</sup>, -NR<sup>5</sup>R<sup>6</sup>, -NR<sup>5</sup>COR<sup>6</sup>, -SO<sub>2</sub>NR<sup>5</sup>R<sup>6</sup>, -NR<sup>5</sup>CONR<sup>6</sup>R<sup>7</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>6</sup> or R<sup>8</sup>;

R<sup>2</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, phenyl, benzyl or C-linked R<sup>12</sup>, said C<sub>1</sub>-C<sub>6</sub> alkyl, phenyl and benzyl being optionally substituted by -OR<sup>9</sup>, -CO<sub>2</sub>R<sup>9</sup>, -CO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>, -NR<sup>9</sup>R<sup>10</sup>, -NR<sup>9</sup>COR<sup>10</sup>, -NR<sup>9</sup>CO<sub>2</sub>R<sup>10</sup>, -NR<sup>9</sup>CONR<sup>10</sup>R<sup>11</sup>, -SO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>, -NR<sup>9</sup>SO<sub>2</sub>R<sup>10</sup> or R<sup>12</sup>; R<sup>3</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, phenyl, benzyl, halo, -OR<sup>13</sup>, -CO<sub>2</sub>R<sup>13</sup>, -CONR<sup>13</sup>R<sup>14</sup>, -OCONR<sup>13</sup>R<sup>14</sup>, -NR<sup>13</sup>CO<sub>2</sub>R<sup>14</sup>, -NR<sup>13</sup>R<sup>14</sup>, -NR<sup>13</sup>COR<sup>14</sup>, -SO<sub>2</sub>NR<sup>13</sup>R<sup>14</sup>, -NR<sup>13</sup>CONR<sup>14</sup>R<sup>15</sup>, -NR<sup>13</sup>SO<sub>2</sub>R<sup>14</sup> or R<sup>16</sup>, said C<sub>1</sub>-C<sub>6</sub> alkyl, phenyl and benzyl being optionally substituted by halo, -OR<sup>13</sup>, -CO<sub>2</sub>R<sup>13</sup>,

-CONR<sup>13</sup>R<sup>14</sup>, -OCONR<sup>13</sup>R<sup>14</sup>, -NR<sup>13</sup>CO<sub>2</sub>R<sup>14</sup>, -NR<sup>13</sup>R<sup>14</sup>, -NR<sup>13</sup>COR<sup>14</sup>, -SO<sub>2</sub>NR<sup>13</sup>R<sup>14</sup>, -NR<sup>13</sup>CONR<sup>14</sup>R<sup>15</sup>, -NR<sup>13</sup>SO<sub>2</sub>R<sup>14</sup> or R<sup>16</sup>;

R<sup>4</sup> is phenyl or pyridyl, each being optionally substituted by halo, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl or C<sub>1</sub>-C<sub>6</sub> alkoxy;

*B4*  
*D*  
~~R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup>, R<sup>13</sup>, R<sup>14</sup> and R<sup>15</sup> are either each H, C<sub>1</sub>-C<sub>6</sub> alkyl or C<sub>3</sub>-C<sub>6</sub> cycloalkyl or, when two such groups are attached to the same nitrogen atom, those two groups taken together with the nitrogen atom to which they are attached may represent azetidinyl, pyrrolidinyl, piperidinyl, homopiperidinyl, piperazinyl, homopiperazinyl or morpholinyl, said azetidinyl, pyrrolidinyl, piperidinyl, homopiperidinyl, piperazinyl, homopiperazinyl and morpholinyl being optionally substituted by C<sub>1</sub>-C<sub>6</sub> alkyl or C<sub>3</sub>-C<sub>7</sub> cycloalkyl;~~

R<sup>8</sup>, R<sup>12</sup> and R<sup>16</sup> are each a five- or six-membered heterocyclic group containing 1 to 4 heteroatoms selected from O, N and S and optionally substituted by oxo, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl or halo; and

X is -CH<sub>2</sub>- , -S-, -SO- or -SO<sub>2</sub>- to a patient in need of such treatment.--

--151. (New) A compound selected from the group consisting of: 2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3-chlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3,5-difluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3-fluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3,5-dichlorobenzyl)-5-isopropyl-3-methyl-1*H*-pyrazol-1-yl]ethanol;

ethyl [4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]acetate;

ethyl [4-(3-fluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]acetate;

N<sup>1</sup>-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}ethanediamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-6-oxo-1,6-dihydro-3-pyridazinecarboxamide;

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*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-1,5-dimethyl-1*H*-pyrazole-3-carboxamide;

*N*-[(aminocarbonyl)amino]-*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}acetamide;

*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-ethoxyacetamide;

*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-pyridinecarboxamide;

*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-methoxyacetamide;

*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-6-oxo-1,6-dihydro-2-pyridinecarboxamide;

*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-pyrazinecarboxamide;

*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-oxo-2*H*-pyran-5-carboxamide;

*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-(1*H*-tetraazol-1-yl)acetamide;

*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}tetrahydro-2-furancarboxamide;

*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-3-hydroxybenzamide;

*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-hydroxyacetamide;

*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-1,2,3-thiadiazole-4-carboxamide;

*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-(dimethylamino)acetamide;

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2-cyano-*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}acetamide;  
*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-fluorobenzamide;  
*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-*N'*-propylurea;  
*N*-benzoyl-*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}urea;  
2-[4-(3,5-dichlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]ethanol;  
ethyl [4-(3,5-dichlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]acetate;  
ethyl [4-(3,5-dichlorobenzyl)-5-isopropyl-3-methyl-1*H*-pyrazol-1-yl]acetate;  
4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazole;  
2-[4-(3,5-dichlorobenzyl)-3,5-dimethyl-1*H*-pyrazol-1-yl]ethanol;  
2-[4-(3,5-dichlorobenzyl)-5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]ethanol;  
2-{4-[(4-chlorophenyl)sulfanyl]-3,5-dimethyl-1*H*-pyrazol-1-yl}ethanol;  
ethyl [4-(3-chlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]acetate;  
ethyl [4-(3,5-difluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]acetate;  
4-(3,5-dichlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazole;  
4-(3,5-difluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazole;  
4-(3-fluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazole;  
4-(3-chlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazole;  
2-{4-[(3,5-dichlorophenyl)sulfanyl]-3,5-dimethyl-1*H*-pyrazol-1-yl}ethanol;  
2-{4-[(3,5-dichlorophenyl)sulfonyl]-3,5-dimethyl-1*H*-pyrazol-1-yl}ethanol;  
4-(3,5-dichlorobenzyl)-3,5-dimethyl-1*H*-pyrazole;  
2-[4-(3,5-dichlorobenzyl)-3,5-dimethyl-1*H*-pyrazol-1-yl]ethanamine;  
2-[4-(3,5-dichlorobenzyl)-5-ethyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]ethanol;  
2-[4-(3,5-dichlorobenzyl)-3-ethyl-5-(trifluoromethyl)-1*H*-pyrazol-1-yl]ethanol;  
2-[4-(3,5-dichlorobenzyl)-5-ethyl-3-methyl-1*H*-pyrazol-1-yl]ethanol;  
2-[4-(3,5-dichlorobenzyl)-3-ethyl-5-methyl-1*H*-pyrazol-1-yl]ethanol;  
2-[4-(3,5-dichlorobenzyl)-3-(dimethylamino)-5-methyl-1*H*-pyrazol-1-yl]ethanol;  
2-[4-(3,5-dimethylbenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethanol;

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2-[4-(3,5-dichlorobenzyl)-5-methoxy-3-methyl-1*H*-pyrazol-1-yl]ethanol;  
2-[4-(3,5-dichlorobenzyl)-5-(2-furyl)-3-methyl-1*H*-pyrazol-1-yl]ethanol;  
(3,5-dichlorophenyl)[3,5-diethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-4-yl]methanone;  
(±)-2-{4-[(3,5-dichlorophenyl)(methoxy)methyl]-3,5-diethyl-1*H*-pyrazol-1-yl}ethanol;  
  
2-[4-(2,6-difluorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethanol;  
2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl carbamate;  
methyl 3-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]propanoate;  
ethyl 3-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]propanoate;  
3-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]propanamide;  
3-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]-1-propanol;  
[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]methanol;  
[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]methyl carbamate;  
2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethanamine;  
*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}benzamide;  
*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-1-methyl-1*H*-imidazole-4-sulfonamide;  
ethyl 4-[(3,5-dichlorophenyl)sulfanyl]-5-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazole-3-carboxylate;  
ethyl 4-[(3,5-dichlorophenyl)sulfanyl]-3-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazole-5-carboxylate;  
4-[(3,5-dichlorophenyl)sulfanyl]-5-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazole-3-carboxamide;  
2-[4-[(3,5-dichlorophenyl)sulfanyl]-5-ethyl-3-(hydroxymethyl)-1*H*-pyrazol-1-yl]ethanol;  
3-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]-1-propanamine;

2-[4-[(3,5-dichlorophenyl)sulfanyl]-3-ethyl-5-(hydroxymethyl)-1*H*-pyrazol-1-yl]ethanol;  
N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2,2-difluoroacetamide;  
[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]methyl phenyl imidodicarbonate;  
N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-*N*-(2,6-difluorobenzoyl)urea;  
N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2,4-dioxo-1,2,3,4-tetrahydro-5-pyrimidinesulfonamide;  
ethyl 4-[(3,5-dichlorophenyl)sulfanyl]-5-ethyl-1*H*-pyrazole-3-carboxylate;  
[4-[(3,5-dichlorophenyl)sulfanyl]-5-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-3-yl]acetonitrile;  
[4-[(3,5-dichlorophenyl)sulfonyl]-5-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-3-yl]acetonitrile;  
2-{4-[(3,5-dichlorophenyl)sulfanyl]-3,5-diethyl-1*H*-pyrazol-1-yl} ethanol;  
4-(3,5-dichlorobenzyl)-3-ethyl-1*H*-pyrazol-5-amine;  
ethyl 4-(3,5-dichlorobenzyl)-3-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-5-ylcarbamate;  
N-[4-(3,5-dichlorobenzyl)-3-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-5-yl]-2-methoxyacetamide;  
2-[4-(3,5-dichlorobenzyl)-5-(dimethylamino)-3-ethyl-1*H*-pyrazol-1-yl]ethanol;  
ethyl                  4-(3,5-dichlorobenzyl)-1-(2-hydroxyethyl)-5-methyl-1*H*-pyrazole-3-carboxylate;  
ethyl                  4-(3,5-dichlorobenzyl)-1-(2-hydroxyethyl)-3-methyl-1*H*-pyrazole-5-carboxylate;  
*tert*-butyl            4-(3,5-dichlorobenzyl)-1-(2-hydroxyethyl)-5-methyl-1*H*-pyrazol-3-ylcarbamate;  
2-[3-amino-4-(3,5-dichlorobenzyl)-5-methyl-1*H*-pyrazol-1-yl]ethanol;

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ethyl [4-(3,5-dichlorobenzyl)-5-methoxy-3-methyl-1*H*-pyrazol-1-yl]acetate;  
2-[5-amino-4-(3,5-dichlorobenzyl)-3-ethyl-1*H*-pyrazol-1-yl]ethanol;  
5-{[3,5-diethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-4-yl]methyl}isophthalonitrile;  
5-[(3,5-diethyl-1*H*-pyrazol-4-yl)methyl]isophthalonitrile;  
5-{[1-(2-aminoethyl)-3,5-diethyl-1*H*-pyrazol-4-yl]methyl}isophthalonitrile;  
2-{4-[(3,5-dibromophenyl)sulfanyl]-3,5-diethyl-1*H*-pyrazol-1-yl} ethanol; and  
5-{[3,5-diethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-4-yl]sulfanyl}isophthalonitrile;  
and the pharmaceutically acceptable salts and solvates thereof.--  
*HJ*

--152. (New) The compound of claim 151, wherein said compound is selected from the group consisting of 2-{4-[(3,5-dichlorophenyl)sulfanyl]-3,5-dimethyl-1*H*-pyrazol-1-yl} ethanol; 2-[4-[(3,5-dichlorophenyl)sulfanyl]-3-ethyl-5-(hydroxymethyl)-1*H*-pyrazol-1-yl]ethanol; and 2-{4-[(3,5-dichlorophenyl)sulfanyl]-3,5-diethyl-1*H*-pyrazol-1-yl}ethanol.

The above amendments add no new matter to this application. Applicants respectfully request their entry.